$10/591,172 - R1 - \\ Sekine et al. - \\ Search Notes - CAPLUS search \\ Connecting via Winsock to STN$

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LOGINID:sssptau1831ec

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* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * * *
NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS	2	NOV	21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS	3	NOV	26	MARPAT enhanced with FSORT command
NEWS	4	NOV		CHEMSAFE now available on STN Easy
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NEWS	11	FEB	02	Simultaneous left and right truncation (SLART) added
				for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS		FEB		GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS		FEB		Patent sequence location (PSL) data added to USGENE
NEWS		FEB		COMPENDEX reloaded and enhanced
NEWS NEWS		FEB FEB		WTEXTILES reloaded and enhanced
NEWS	16	FEB	19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art.
NEWS	17	FEB	19	Increase the precision of your patent queries use
				terms from the IPC Thesaurus, Version 2009.01
NEWS	18	FEB	23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS	19	FEB	23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS	20	FEB	23	TOXCENTER updates mirror those of MEDLINE - more
				precise author group fields and 2009 MeSH terms
NEWS	21	FEB	23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS	22	FEB	25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS	23	MAR	06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS	24	MAR	11	EPFULL backfile enhanced with additional full-text
MENTO	2.5	143 D	2.2	applications and grants
NEWS NEWS		MAR		ESBIOBASE reloaded and enhanced CAS databases on STN enhanced with new super role
NEWS	∠0	MAK	20	for nanomaterial substances
NEWS	27	MAR	23	CA/CAplus enhanced with more than 250,000 patent

equivalents from China

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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TOTAL

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STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

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G1 [@1], [@2]

Structure attributes must be viewed using STN Express query preparation.

9 ANSWERS

=> s 11 sss sam
SAMPLE SEARCH INITIATED 17:26:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 91400 TO ITERATE

2.2% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**

PROJECTED ITERATIONS: 1810017 TO 1845983

L2 9 SEA SSS SAM L1

=> d 12

L2 ANSWER 1 OF 9 REGISTRY COPYRIGHT 2009 ACS on STN

RN 1090486-89-7 REGISTRY

ED Entered STN: 26 Dec 2008

CN 1H-Benzotriazole-6-carboxamide, N-cyclopentyl- (CA INDEX NAME)

7010 TO

MF C12 H14 N4 O SR Chemical Library

SEARCH TIME: 00.00.01

PROJECTED ANSWERS:

Supplier: Ambinter

LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> s 11 sss full FULL SEARCH INITIATED 17:27:27 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1822235 TO ITERATE

54.9% PROCESSED 1000000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.07 4331 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
PROJECTED ITERATIONS: 1822235 TO 1822235
PROJECTED ANSWERS: 7626 TO 8158

L3 4331 SEA SSS FUL L1

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COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 188.89 189.11

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FILE COVERS 1907 - 27 Mar 2009 VOL 150 ISS 14 FILE LAST UPDATED: 26 Mar 2009 (20090326/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

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(FILE 'HOME' ENTERED AT 17:25:54 ON 27 MAR 2009)

FILE 'REGISTRY' ENTERED AT 17:26:11 ON 27 MAR 2009

L2 9 S L1 SSS SAM L3 4331 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:27:41 ON 27 MAR 2009

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=> s 14 and phosphoramidit? 4017 PHOSPHORAMIDIT? 3 L4 AND PHOSPHORAMIDIT?

=> d 15 ed ibib abs hitstr 1-3

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 07 Feb 2008 ACCESSION NUMBER:

2008:157070 CAPLUS

DOCUMENT NUMBER:

148:239456

TITLE:

Method for introducing 2-cyanoethoxymethyl nucleic-acid-protecting group at 2'-hydroxy group of

nucleic acid

INVENTOR(S): PATENT ASSIGNEE(S): Kitagawa, Hidetoshi; Uetake, Kouichi Nippon Shinyaku Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 57pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

Japanese FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | | | KIND DATE | | | | APPLICATION NO. | | | | | DATE | | | | | | |
|--------------------|-----|-----|-------------|-----|-----------------|-----|-----------------|-----|-----|------|----------|------|-----|-----|-----|------|-----|--|
| | | | | | | | | | | | | | | | | | | |
| WO 2008016079 | | | A1 20080207 | | WO 2007-JP65070 | | | | | | 20070801 | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, | CA, | |
| | | CH, | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DO, | DZ, | EC, | EE, | EG, | ES, | FI, | |
| | | GB, | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | |
| | | KM, | KN, | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, | ME, | |
| | | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | |
| | | PT, | RO, | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | TJ, | TM, | TN, | |
| | | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | |
| | | IS, | IT, | LT, | LU, | LV, | MC, | MT, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | |
| | | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | |
| | | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | |
| | | BY, | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | | | | | | |
| RITY APPLN. INFO.: | | | | | | | | | | JP 2 | 006- | 2104 | 39 | | A 2 | 0060 | 802 | |

PRIOR MARPAT 148:239456 OTHER SOURCE(S): GI

AB The object is to provide a method for introducing a substituent CH2OCH2CH2WG1 (WG1 = an electron-attracting group) into a 2'-hydroxyl group of a ribose moiety in a RNA derivative having a 3'-hydroxyl group and a 5'-hydroxyl group each protected by a silicon protecting group, in a

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simple manner and at a low cost. Specifically, a method for producing a
     RNA derivative represented by the general formula (I; Bz = a nucleotide which
     may have a protecting group; WG1 = an electron-attracting group; R3 =
     alkyl or aryl; A = a silicon substituent) comprises reacting a RNA derivative
     represented by the general formula (II; Bz, A = same as above) with a
     monothioacetal compound represented by the general formula R3SCH2OCH2CH2WG1
     (III) wherein iodine is used as a reagent for the halogenation of a sulfur
     atom in the monothioacetal compound III in the presence of an acid.
     2'-(2-Cvanoethoxymethyl)nucleosides I can be further converted into
     2'-(2-cvanoethoxymethyl)ribonucleoside 3'-phosphoramidites.
     Thus, 50.6 g 3',5'-0-(tetraisopropyldisiloxan-1,3-diyl)uridine was
     dissolved in 104 mL THF, followed by adding 0.76 mL MeSO3H, 158 g I, and
     16.4 g methylthiomethyl 2-cyanoethyl ether at 0°, and the resulting
     mixture was allowed to react for 45 min, treated with saturated aqueous NaHCO3
solution
     and saturated sodium thiosulfate solution, extracted with EtOAc to give, after
workup
     and concentration under reduced pressure, crude
     3',5'-0-(tetraisopropyldisiloxan-1,3-diyl)-2'-0-(2-
     cyanoethoxymethyl)uridine (IV). IV was treated with 300 mL MeOH and then
     with 11.6 g ammonium fluoride under stirring, and stirred at 50°
     for 7.5 h, followed by treatment with MeCN, filtration, and washing the
     filtrate with hexane, and concentration under reduced pressure to give 21.5 g
     2'-0-(2-cvanoethoxymethyl)uridine (63%).
     735279-59-1, Benzotriazole triflate
     RL: RGT (Reagent); RACT (Reactant or reagent)
        (method for introducing 2-cyanoethoxymethyl protecting group at
        2'-hydroxy group of ribonucleosides by etherification with
        methylthiomethyl cyanoethyl ether and iodine in presence of acid)
     735279-59-1 CAPLUS
    Methanesulfonic acid, 1,1,1-trifluoro-, compd. with 1H-benzotriazole (1:1)
       (CA INDEX NAME)
     CM
          1
     CRN 1493-13-6
     CMF C H F3 O3 S
F-C-SORH
     CM
     CRN 95-14-7
     CMF C6 H5 N3
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7

RN

CN

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 03 Mar 2006

ACCESSION NUMBER: 2006:193342 CAPLUS

DOCUMENT NUMBER: 144:274495

TITLE: Preparation of nucleoside phosphoramidite compounds and method for producing oligo-RNA

INVENTOR(S): Ohqi, Tadaaki; Ishivama, Kouichi; Masutomi, Yutaka PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan

PCT Int. Appl., 70 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | PATENT NO. | | | | | | | | | | APPLICATION NO. | | | | | | DATE | | |
|-------|------------|------|------|------|-----|-----|-----|------|------|-----|-----------------|-------|------|------|-----|------|------|-----|--|
| | | 2006 | | | | | | | | | | | | | | | | | |
| | | W: | | | | | | | | | | BG, | | | | | | | |
| | | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KP, | KR, | KZ, | |
| | | | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | |
| | | | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | |
| | | | SL, | SM, | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | |
| | | | ZA, | ZM, | ZW | | | | | | | | | | | | | | |
| | | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | |
| | | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | |
| | | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, | |
| | | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, | |
| | | | | | | RU, | | | | | | | | | | | | | |
| | | 2005 | | | | | | | | | AU 2 | 2005- | 2758 | 01 | | 2 | 0050 | 825 | |
| | | 2005 | | | | | | | | | | | | | | | | | |
| | | 2577 | | | | | | 2006 | 0302 | | CA 2 | 005- | 2577 | 922 | | 2 | 0050 | 825 | |
| | EΡ | 1795 | | | | | | | | | | 2005- | | | | | | | |
| | | R: | | | | | | | | | | ES, | | | | | | ΙE, | |
| | | | | | | | | | | | | PT, | | | | | | | |
| | | 1010 | | | | | | 2007 | 1003 | | CN 2 | 2005- | 8003 | 6373 | | 2 | 0050 | 825 | |
| | | 2005 | | | | | | | | | | | | | | | | | |
| | MX | 2007 | 0022 | 45 | | Α | | 2007 | 0420 | | MX 2 | 2007- | 2245 | | | 2 | 0070 | 223 | |
| | IN | 2007 | CN00 | 811 | | A | | 2007 | 0824 | | IN 2 | 2007- | CN81 | 1 | | 2 | 0070 | 226 | |
| | KR | 2007 | 0546 | 88 | | A | | 2007 | 0529 | | KR 2 | 2007- | 7066 | 76 | | 2 | 0070 | 323 | |
| | | 2007 | | | | A1 | | 2007 | 1206 | | | | | | | | | | |
| PRIOR | IT: | APP: | LN. | INFO | . : | | | | | | | 2004- | | | | | 0040 | | |
| | | | | | | | | | | | | 2005- | | | | | 0050 | | |
| | | | | | | | | | | | | 005- | | | | | 0050 | | |
| | | | | | | | | | | | WO 2 | 2005- | JP15 | 420 | 1 | 71 2 | 0050 | 825 | |

OTHER SOURCE(S): MARPAT 144:274495

GI

$$R1-0$$
 $Q=$ $R1-0$ $Q=$ $R1-0$

AB Disclosed is a novel phosphoramidite compound which is useful for synthesis of an oligo-RNA. Nucleoside phosphoramidite compds. represented by the following general formula (I) [Bx represents a nucleic acid base which may have a protecting group; R1 represents a substituent represented by the following general formula O (wherein R11, R12 and R13 may be the same or different and resp. represent a hydrogen or an alkoxy); R2a and R2b may be the same or different and resp. represent an alkyl or form a 5-6 membered saturated amino ring group together with an adjacent nitrogen atom, and the saturated amino ring group may have an oxygen atom or a sulfur atom as a ring-forming atom other than the nitrogen atom; WG1 and WG2 may be the same or different and resp. represent an electron-withdrawing group] are prepared These nucleoside phosphoramidites having ether protecting groups 2'-hydroxy protecting group with straight chain-substituents are not sterically hindered around the phosphorus atom linked to the 3-hydroxy group and allow the condensation reaction to proceed in a very short period of time in good yields and give oligo-RNA of high purity by using almost the same method for the preparation of oligo-DNA. Thus, 546 mg 5'-O-(4,4'-Dimethoxytrityl)uridine was dissolved in 4 mL 1,2-dichloroethane, treated with 452 mg diisopropylethylamine and then with 365 mg dibutyltin dichloride, allowed to react at room temperature for 1 h,

heated to 80°, treated dropwise with 144.4 mg chloromethyl 2-cvanoethyl ether, and stirred for 30 min to give, after workup and silica gel chromatog., 34% 5'-0-(4,4'-dimethoxytritv1)-2'-0-(2cyanoethoxymethyl)uridine (II). II (209 mg) and 23 mg tetrazole were dissolved in 2 mL MeCN, treated dropwise with 150 mg 2-Cyanoethyl N,N,N,N'-tetraisopropylphosphorodiamidite, stirred at 45° for 1.5 h to give, after workup and silica gel chromatog., 5'-O-(4,4'-dimethoxytrity1)-2'-O-(2-cvanoethoxymethy1)uridine 3'-O-(2-cyanoethyl N, N-diisopropylphosphoramidite). Similarly, N4-acetyl-5'-0-(4,4'-dimethoxytrityl)-2'-0-(2-cyanoethoxymethyl)cytidine 3'-0-(2-cyanoethyl N,N-diisopropylphosphoramidite), N2-acety1-5'-O-(4,4'-dimethoxytrity1)-2'-O-(2-cyanoethoxymethy1) quanosine 3'-0-(2-cyanoethyl N,N-diisopropylphosphoramidite), N2-phenoxyacetv1-5'-0-(4,4'-dimethoxytritv1)-2'-0-(2cyanoethoxymethyl)guanosine 3'-0-(2-cyanoethyl N, N-diisopropylphosphoramidite), and N6-acety1-5'-0-(4,4'-dimethoxytrity1)-2'-0-(2-cyanoethoxymethy1)adenosine 3'-O-(2-cyanoethyl N,N-diisopropylphosphoramidite) were prepared These nucleoside phosphoramidites were used to prepare RNAs by the phosphoramidite solid-phase method.

IT 735279-59-1

RL: RGT (Reagent); RACT (Reactant or reagent)

(preparation of phosphoramidite compound and method for producing oligo-RNA)

735279-59-1 CAPLUS RN

CN Methanesulfonic acid, 1,1,1-trifluoro-, compd. with 1H-benzotriazole (1:1) (CA INDEX NAME)

CM 1

CRN 1493-13-6 CMF C H F3 O3 S

CM 2

CRN 95-14-7 CMF C6 H5 N3

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN L5

ED Entered STN: 04 Mar 2005 ACCESSION NUMBER: 2005:182910 CAPLUS

DOCUMENT NUMBER: 142:274986

TITLE:

SERRS beacon dual labeled oligonucleotide probes for nucleic acid sequence identification and diagnostic

applications INVENTOR(S):

Graham, Duncan; Smith, William Ewen; Fruk, Ljiljana PATENT ASSIGNEE(S): University of Strathclyde, UK

SOURCE: PCT Int. Appl., 70 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | | | | | KIND DATE | | | APPLICATION NO. | | | | | DATE | | | | | |
|---------------|----|-----|-----|-------------|-----------|-----|-----|-----------------|-----|-----|-----|-----|----------|-----|-----|-----|-----|--|
| | | | | | | - | | | | | | | | | | | | |
| WO 2005019812 | | | | A1 20050303 | | | | WO 2004-GB3671 | | | | | 20040826 | | | | | |
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| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FΙ, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KΡ, | KR, | ΚZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | |
| | | TJ. | TM. | TN. | TR. | TT. | TZ. | UA. | UG. | US. | UZ. | VC. | VN. | YU. | ZA. | ZM. | ZW | |

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             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
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                          Τ
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                                            JP 2006-524420
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     US 20060246460
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                                            US 2006-569698
                                                                    20060525
PRIORITY APPLN. INFO .:
                                             GB 2003-19949
                                                                 A 20030826
                                             WO 2004-GB3671
                                                                 W 20040826
```

OTHER SOURCE(S): MARPAT 142:274986

The present invention relates to methods and materials for detecting or identifying particular nucleic acid sequences in a sample using modified mol. beacons. The invention provides modified mol. beacons detectable by surface enhanced Raman spectroscopy (SERS) (SERRS Beacons) and related materials, processes, and methods of use. The SERRS Beacon is a dual labeled probe with a different dye at each of its two ends. In conventional Beacons a quencher such as DABCYL is used with a dye. In the present invention, one of the dyes is specifically designed such that it is capable of immobilizing the oligonucleotide probe onto an appropriate metal surface. In use, the SERRS Beacon is immobilized in the "closed state" on the metal surface, and this has the effect that due to the closeness to the surface of the colored species a SERRS spectrum corresponding to both dyes is detectable. When the complementary sequence hybridizes, the SERRS Beacon opens up and one of the dyes is removed from the surface - this causes the SERRS signals to change to show only the dye on the surface, not the other dye. The wide combination of different dyes offers a massive coding potential for simultaneous multiplexed anal. of DNA/RNA sequences. The method can be used for diagnosis or prognosis of a disease, or for gene expression profiling.

IT 797043-51-7 797043-55-1 797043-56-2 797043-57-3 797043-60-8 847145-55-5

/9/043-5/-3 /9/043-60-8 84/145-55-5 847145-56-6

RL: ARG (Analytical reagent use); PRP (Properties); ANST (Analytical study); USES (Uses)

(quencher dye; SERRS beacon dual labeled oligonucleotide probes for nucleic acid sequence identification and diagnostic applications) 797043-51-7 CAPLOS

1H-Benzotriazol-6-amine, 7-[2-(2,4-dimethoxyphenyl)diazenyl]- (CA INDEX NAME)

RN

CN

RN 797043-55-1 CAPLUS

CN 1H-Benzotriazol-6-amine, 7-[2-(4-nitrophenyl)diazenyl]- (CA INDEX NAME)

RN 797043-56-2 CAPLUS

CN Benzonitrile, 4-[2-(6-amino-1H-benzotriazol-7-yl)diazenyl]- (CA INDEX NAME)

RN 797043-57-3 CAPLUS

CN 1H-Benzotriazol-6-amine, 7-[2-(2,4-dinitrophenyl)diazenyl]- (CA INDEX NAME)

RN 797043-60-8 CAPLUS

CN 1-Naphthalenecarbonitrile, 4-[2-(6-amino-1H-benzotriazol-7-yl)diazenyl]-(CA INDEX NAME)

RN 847145-55-5 CAPLUS

CN 1H-Pyrrole-2,5-dione, 1-[7-[2-(3,5-dimethoxyphenyl)diazenyl]-1H-benzotriazol-6-yl]- (CA INDEX NAME)

RN

CN Butanoic acid, 4-oxo-4-[[[2,3,4,7-tetrahydro-2-[7-[2-(4-methoxyphenyl)diazenyl]-lH-benzotriazol-6-yl]-1,3-dioxo-4,7-epoxy-lH-isoindol-4-yl]methyl]amino]- (CA INDEX NAME)

- IT 797043-52-8P RL: ARG (Analytical reagent use); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses) (quencher dye; SERRS beacon dual labeled oligonucleotide probes for nucleic acid sequence identification and diagnostic applications)
- RN 797043-52-8 CAPLUS CN 1H-Benzotriazol-6-amine, 7-[2-(3,5-dimethoxyphenyl)diazenyl]- (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s hydroxybenzotriazole

=> s 17 and phosphoramidit? 4017 PHOSPHORAMIDIT? L8 14 L7 AND PHOSPHORAMIDIT?

=> d 18 ed ibib abs hitstr

L8 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 09 Sep 2005 ACCESSION NUMBER: 2005:984074 CAPLUS

DOCUMENT NUMBER: 143:286633

TITLE: Novel method of synthesizing nucleic acid without protecting nucleotide bases

INVENTOR(S): Sekine, Mitsuo; Seio, Kohji; Ohkubo, Akihiro PATENT ASSIGNEE(S): Japan Science and Technology Agency, Japan

SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | | | | | KIND DATE | | | APPLICATION NO. | | | | | | | | | | | |
|------------|-----|-------|-----|------|-----------|-----|------|-----------------|------|----------------|------|------|------|----------|-----|-----|------|-----|----|
| | | | | | | | - | | | | | | | | | | | | |
| | | | | | A1 | | 2005 | 0909 | | WO 2005-JP3053 | | | | 20050224 | | | | | |
| | | W: | ΑE, | AG, | AL, | AM, | ΑT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, | |
| | | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | |
| | | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | |
| | | | SY, | ТJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | zw |
| | | RW: | BW, | GH, | GM, | KE, | LS, | MW, | ΜZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, | ΤJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
| | | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | IS, | IT, | LT, | LU, | MC, | NL, | PL, | PT, | |
| | | | RO, | SE, | SI, | SK, | TR, | BF, | ΒJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | |
| | | | MR, | ΝE, | SN, | TD, | TG | | | | | | | | | | | | |
| | | 2558 | | | | | | 2005 | | | CA 2 | 005- | 2558 | 581 | | 2 | 0050 | 224 | |
| | EP | 1721 | 908 | | | A1 | | 2006 | 1115 | | EP 2 | 005- | 7106 | 54 | | 2 | 0050 | 224 | |
| | | R: | DE, | FR, | GB | | | | | | | | | | | | | | |
| PRIO | RIT | Y APP | LN. | INFO | . : | | | | | | JP 2 | 004- | 5670 | 7 | | A 2 | 0040 | 301 | |
| | | | | | | | | | | | WO 2 | 005- | TP30 | 53 | | W 2 | 0050 | 224 | |

It is intended to provide a novel method of synthesizing a nucleic acid oligomer whereby at least 10-mer of nucleic acid mol. oligomer (for example, a 20-mer) can be synthesized at an extremely high purity by the phosphoramidite solid phase method without protecting nucleotide bases, compared with the conventional method without nucleotide base protection allowing the synthesis of a 12-mer at the highest. Namely, a method of synthesizing a nucleic acid oligomer is characterized in that an alc. type activator or a combination of an alc. type activator with an acid catalyst is used in the phosphoramidite method. The alc. type activator is a compound capable of forming active phosphite intermediate, e.g. hydroxybenzotriazole (HOBt), its derivative, or phenols, but not aliphatic hydrocarbon alc. DNA oligomers are useful in high throughput preparation of DNA chips for gene diagnosis using single nucleotide polymorphisms (SNP) anal. (no data). Thus, d[CCCCCTTTTCTCTCTCT] was prepared by the solid phase method using an Applied Biosystems DNA/RNA synthesizer 392, thymidine-linked to polymer support through a succinyl linker, 5'-4,4'-dimethoxytrityl-nucleoside 3'-phosphoramidite, 6-trifluoromethylbenzotriazol-1-ol (alc. type activator), and benzimidazolium triflate (catalyst).

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=> d his
```

(FILE 'HOME' ENTERED AT 17:25:54 ON 27 MAR 2009)

FILE 'REGISTRY' ENTERED AT 17:26:11 ON 27 MAR 2009 L1 STRUCTURE UPLOADED

L2 9 S L1 SSS SAM L3 4331 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:27:41 ON 27 MAR 2009

L4 763 S L3

L5 3 S L4 AND PHOSPHORAMIDIT? L6 0 S HYDROXYBENZOTRIAZOLE-1-OL

L7 3848 S HYDROXYBENZOTRIAZOLE L8 14 S L7 AND PHOSPHORAMIDIT?

=> d 18 ed ibib abs hitstr 2-14

L8 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

D Entered STN: 11 Feb 2005

ACCESSION NUMBER: 2005:120077 CAPLUS

DOCUMENT NUMBER: 142:198303

TITLE: Solid-phase preparation of asymmetric pyrophosphoric

acid esters

INVENTOR(S): Sekine, Mitsuo; Seio, Yasushi; Okubo, Akihiro PATENT ASSIGNEE(S): Japan Science and Technology Agency, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| | | | | |
| JP 2005035912 | A | 20050210 | JP 2003-198932 | 20030718 |
| PRIORITY APPLN. INFO.: | | | JP 2003-198932 | 20030718 |
| | | | | |

OTHER SOURCE(S): MARPAT 142:198303

AB R1OP(0)O(0-)O(0-)P(0)OR8 (1; R1, R8 = ester residue) were prepared by condensation of R1O(R2R3N)POPG (R1 = ester residue; R2, R3 = alkyl, aryl; PG = protective group) with HDP(0)(0-)OR4 (R4 = ester residue bound to solid phase) in the presence of 1-hydroxybenzotriazole and

derivs., followed by deprotection, and finally separation from solid phases. Preparation of 1 (R1 = thymidin-5'-yl, R8 = thymidin-3'-yl) using a polystyrene support was exemplified.

L8 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 17 Aug 2004

ACCESSION NUMBER: 2004:667674 CAPLUS DOCUMENT NUMBER: 141:332407

TITLE: 0-Selectivity and Utility of Phosphorylation Mediated

by Phosphite Triester Intermediates in the

N-Unprotected Phosphoramidite Method

AUTHOR(S): Ohkubo, Akihiro; Ezawa, Yusuke; Seio, Kohji; Sekine,

Mitsuo

CORPORATE SOURCE: Department of Life Science, Tokyo Institute of

Technology, Yokohama, 226-8501, Japan

SOURCE: Journal of the American Chemical Society (2004),

126(35), 10884-10896 CODEN: JACSAT; ISSN: 0002-7863

American Chemical Society PUBLISHER:

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:332407

AB Previously, O-selective phosphorylation on polymer supports in the N-unprotected phosphoramidite method could not be carried out because the amino groups of dA and dC have high reactivity toward tervalent phosphorus(III)-type phosphitylating reagents. In this paper, we developed a new coupling strategy named the "activated phosphite method" in which the phosphitylation is mediated by phosphite triester intermediates [(I): Base = A, C, G, or T; DMT = 4,4'-dimethoxytrityl; R = 1-benzotriazolyl (Bt); 6-trifluoromethyl-Bt; 6-nitro-Bt; 4-nitro-6-trifluoromethyl-Bt; 2,4-dinitrobenzene]. Application of 1hydroxybenzotriazole as the promoter to the solid-phase synthesis resulted in excellent O-selectivity of more than 99.7%. This O-selectivity was explained by the frontier MO interactions between the reactive intermediates and the nucleophiles such as the amino or hydroxyl groups of nucleosides. Furthermore, longer oligonucleotides were synthesized not only by a manual operation but also by a DNA synthesizer. The utility of our new method was demonstrated by the successful synthesis of a base-labile modified oligodeoxyribonucleotide having 4-N-acetyldeoxycytidine residues. Finally, DNA 20-mers containing dA or dC could be synthesized in good yields by use of a combined reagent of 6-trifluoromethyl-1-hydroxybenzotriazole and benzimidazolium

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 05 Jul 2004

triflate.

ACCESSION NUMBER: 2004:536391 CAPLUS

DOCUMENT NUMBER: 142:280376

TITLE: Alternate synthesis pathways for preparing Fmoc-trinucleoside-phosphoramidites

AUTHOR(S): Yanez, Jorge; Soberon, Xavier; Gaytan, Paul

CORPORATE SOURCE: Instituto de Biotecnologia, Universidad Nacional

Autonoma de Mexico, Morelos, 62271, Mex.

SOURCE: Revista de la Sociedad Quimica de Mexico (2004), 48(1), 26-37

CODEN: RSOMAN; ISSN: 0583-7693

PUBLISHER: Sociedad Quimica de Mexico

DOCUMENT TYPE: Journal

Spanish LANGUAGE:

OTHER SOURCE(S): CASREACT 142:280376

Fmoc-trinucleoside-diphosphate phosphoramidites (Fmoc is fluorenylmethoxycarbonyl) are mols. composed of three nucleosides and have application as mutagenic units during automated synthesis of oligonucleotides. These synthons afford substitution of wild-type codons by complete mutant codons in a specific region of the target gene,

avoiding at the protein level, the bias toward certain kind of amino acids that is generated with conventional methods of mutagenesis. In the present work, three organic synthesis pathways were explored for the

present work, three organic synthesis pathways were explored for the preparation

of such valuable compds., setting as main goal the achievement of clear one-pot internucleotidic reactions that enable the easy purification of the target compound by column chromatog. Syntheses were performed in liquid-phase and gram-scales through the phosphotriester method. The best pathway for the preparation of dinucleotides and trinucleotides made use of 2-chlorophenyl-0.0-his (1-bydroxyberystrize) typesphorylating

2-chlorophenyl-0,0-bis(1-hydroxybenzotriazoly)phosphate as phosphorylating reagent.

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN ED Entered STN: 02 Apr 2004

ACCESSION NUMBER: 2004:271525 CAPLUS

DOCUMENT NUMBER: 140:304029

TITLE: Preparation of oligonucleotides from nucleosides and/or nucleotides having unprotected base groups INVENTOR(S): Sekine, Mitsuo; Okubo, Akihiro; Seio, Yasushi

PATENT ASSIGNEE(S): Sigma Genosys Japan Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| | | | | |
| JP 2004099532 | A | 20040402 | JP 2002-264099 | 20020910 |
| PRIORITY APPLN. INFO.: | | | JP 2002-264099 | 20020910 |
| O.T. | | | | |

AB Oligonucleotides are prepared by phosphoramidite method using 1hydroxybenzotriazole (I) as reaction promoter. Thus, thymidine 3'-O-phosphoramidite derivative II was coupled with

3'-O-(tert-butyldimethylsilyl)deoxyadenosine in the presence of I in MeCN at room temperature for 5 min and treated with iodine in aqueous pyridine at

room

temperature for 2 min to give 91% dinucleotide.

ANSWER 6 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 16 Jan 2004

ACCESSION NUMBER: 2004:40030 CAPLUS

DOCUMENT NUMBER: 141:7178

TITLE: A new approach for pyrophosphate bond formation starting from phosphoramidite derivatives by

use of 6-trifluoromethyl-1-

hydroxybenzotriazole-mediated O-N phosphoryl

migration

Ohkubo, Akihiro; Aoki, Katsufumi; Seio, Kohji; Sekine, AUTHOR(S):

Mitsuo

CORPORATE SOURCE: Department of Life Science, Tokyo Institute of Technology, Midoriku, Yokohama, 226-8501, Japan

SOURCE: Tetrahedron Letters (2004), 45(5), 979-982 CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:7178

A new method for pyrophosphate bond formation in the solid phase was

developed using phosphoramidite derivs., which are readily

converted by reaction with 6-trifluoromethyl-1-hydroxybenztriazole via an

O-N phosphoryl rearrangement into pentavalent phosphotriester intermediates. These intermediates proved to react smoothly with not only phosphomonoesters but also phosphodiesters to give protected pyrophosphate derivs. which, in turn, could be easily deprotected to give the desired

pyrophosphate derivs.

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 01 Jun 2003

ACCESSION NUMBER: 2003:417543 CAPLUS

DOCUMENT NUMBER: 139:1984

Synthesis of oligonucleotides probes and their use in TITLE:

detection of nucleic acids and microarrays

INVENTOR(S): Bruce, Ian; Davies, Martin; Wolter, Andreas PATENT ASSIGNEE(S): Proligo LLC, USA

SOURCE:

PCT Int. Appl., 110 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent.

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND DATE | APE | LICATION NO. | DATE |
|----------------|-------------|------------|------------------|-----------------|
| | | | | |
| WO 2003043402 | A2 2003 | 0530 WO | 2002-US33699 | 20021021 |
| WO 2003043402 | A3 2003: | 1106 | | |
| W: AE, AG, AL, | AM, AT, AU, | AZ, BA, BE | , BG, BR, BY, 1 | BZ, CA, CH, CN, |
| CO, CR, CU, | CZ, DE, DK, | DM, DZ, EC | , EE, ES, FI, | GB, GD, GE, GH, |
| GM, HR, HU, | ID, IL, IN, | IS, JP, KE | , KG, KP, KR, I | KZ, LC, LK, LR, |
| LS, LT, LU, | LV, MA, MD, | MG, MK, MN | I, MW, MX, MZ, 1 | NO, NZ, OM, PH, |
| PL, PT, RO, | RU, SD, SE, | SG, SI, SH | , SL, TJ, TM, | TN, TR, TT, TZ, |
| UA, UG, UZ, | VC, VN, YU, | ZA, ZM, ZV | i . | |

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
              KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
              CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           AU 2002-366046
     AU 2002366046
                          A1
                                20030610
                                                                      20021021
     US 20030143591
                          A1
                                20030731
                                             US 2002-278047
                                                                      20021021
     US 6902900
                          B2 20050607
     EP 1442142
                          A2 20040804
                                             EP 2002-803599
                                                                      20021021
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
     US 20050233360
                         A1
                                20051020
                                              US 2005-83210
                                                                      20050316
PRIORITY APPLN. INFO.:
                                              US 2001-336432P
                                                                  P 20011019
                                              US 2002-278047
                                                                  A3 20021021
                                              WO 2002-US33699
AB
     The invention comprises novel methods and strategies to detect and/or
     quantify nucleic acid analytes. The methods involve nucleic acid probes with covalently conjugated dyes, which are attached either at adjacent
     nucleotides or at the same nucleotide of the probe and novel linker mols.
     to attach the dyes to the probes. The nucleic acid probes generate a
     fluorescent signal upon hybridization to complementary nucleic acids based
     on the interaction of one of the attached dyes, which is either an
     intercalator or a DNA groove binder, with the formed double stranded DNA.
     The methods can be applied to a variety of applications including
     homogeneous assays, real-time PCR monitoring, transcription assays,
     expression anal. on nucleic acid microarrays and other microarray
     applications such as genotyping (SNP anal.). The methods further include
     pH-sensitive nucleic acid probes that provide switchable fluorescence
     signals that are triggered by a change in the pH of the medium.
REFERENCE COUNT:
                                THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 8 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
     Entered STN: 28 Jan 2001
ACCESSION NUMBER:
                          2001:64762 CAPLUS
DOCUMENT NUMBER:
                          134:252601
TITLE:
                          New phosphoramidite reagents for the
                          synthesis of oligonucleotides containing a cysteine
                          residue useful in peptide conjugation
AUTHOR(S):
                          Stetsenko, Dmitry A.; Gait, Michael J.
CORPORATE SOURCE:
                         Laboratory of Molecular Biology, Medical Research
                          Council, Cambridge, CB2 20H, UK
SOURCE:
                          Nucleosides, Nucleotides & Nucleic Acids (2000),
                          19(10-12), 1751-1764
                          CODEN: NNNAFY; ISSN: 1525-7770
PUBLISHER:
                          Marcel Dekker, Inc.
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
OTHER SOURCE(S):
                         CASREACT 134:252601
AB The preparation is described of four 2-cyanoethyl-N,N-diisopropyl
     phosphoramidites of N-α-Fmoc-S-protected cysteine
     hydroxyalkyl amides. The phosphoramidites were used in solid-phase synthesis of 5'-cysteinyl oligonucleotides, useful
     intermediates in the preparation of peptide-oligonucleotide conjugates through
     reaction with a maleimide peptide or with a peptide thioester via "native
     ligation".
REFERENCE COUNT:
                          40
                                THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS
                                 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L8
     ANSWER 9 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ED Entered STN: 18 May 1999
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1999:300484 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: 131:127347

TITLE: Bifunctional Phosphoramidite Reagents for

the Introduction of Histidyl and Dihistidyl Residues

into Oligonucleotides

AUTHOR(S): Smith, Thomas H.; LaTour, John V.; Bochkariov, Dmitry;

Chaga, Grigoriy; Nelson, Paul S.

Nucleic Acids Chemistry Division, CLONTECH CORPORATE SOURCE: Laboratories Inc., Palo Alto, CA, 94303, USA

Bioconjugate Chemistry (1999), 10(4), 647-652 SOURCE:

CODEN: BCCHES; ISSN: 1043-1802

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

The synthesis and characterization of reagents for the incorporation of histidyl residues into oligonucleotides by automated chemical synthesis is

described. Automated oligonucleotide synthesis utilizing a bifunctional reagent for the incorporation of a dihistidyl residue into

oligonucleotides is described. Oligonucleotides incorporating one to three dihistidyl residues were prepared and characterized. The interaction

of these oligonucleotides with a metal chelating IMAC matrix was explored. REFERENCE COUNT: THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS 15 RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

Entered STN: 15 Feb 1996

ACCESSION NUMBER: 1996:95023 CAPLUS DOCUMENT NUMBER: 124:146750 ORIGINAL REFERENCE NO.: 124:27320h,27321a

TITLE: Preparation of 2-amino-2'-deoxyadenosine derivatives

as monomer unit for synthesis of oligonucleotides or

polynucleotides

INVENTOR(S): Sugyama, Hiroshi; Saito, Retsu; Hiramatsu, Mitsuo

PATENT ASSIGNEE(S): Hamamatsu Photonics Kk, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.

CODEN: JKXXAF DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A 19951003 JP 1994-79163 19940312 JP 1994-79163 19940312 JP 07252293

PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 124:146750

AB The title compds. [I; R1 = H, COCHMe2, COCH2OAr, wherein Ar = aryl; R2 = H, P(OCH2CH2CN)N(CHMe2)2; R3 = H, dimethoxytrityl; R4, R5 = H, :CHNR6R6; wherein R6 = alkyl, cycloalkyl, aryl, aralkyl], which are useful as intermediates for an oligonucleotide or a polynucleotide containing a plural number of 2-amino-2'-deoxyadenosine units with increased hydrogen bonding strength between the adenine and thymine residue and useful as antisense compds. or hybridization probes, are prepared Thus, I (R1 = R2 = R3 = isobutyryl, R4 = R5 = H) was stirred in 1 N NaOH (pyridine: MeOH: H2O = 65:30:5) at 0° for 10 min and neutralized with aqueous 5% aqueous NH4Cl to give 75.1% I (R1 = isobutyryl, R2 - R5 = H), which was alkylated by trityl chloride in the presence of Et3N and 4-dimethylaminopyridine in pyridine to the 5'-O-dimethoxytrityl compound (60.0%), saponified with 1 N NaOH (pyridine:MeOH:H20 = 65:30:5) to the 2-amino-2'-deoxyadenosine I [R1 = R2 = R4 = R5 = H, R3 = 4,4'-dimethoxytrityl (DMT)] (47.2%), and silylated byMe3SiCl in pyridine and acylated by phenoxyacetyl chloride in pyridine and 1-hydroxybenzotriazole in MeCN and pyridine to give I (R1 = R5 = COCH20Ph, R2 = R4 = H, R3 = DMT). The latter compound was stirred with a mixture of aqueous NH3, EtOH, and CH2Cl2 under cooling for 3-4 h to give 88.4%

(R1 = COCH2OPh, R2 = R4 = R5 = H, R3 = DMT), which was condensed with N,N-dibutylformamide di-Me acetal in pyridine at room temperature for 3 days to I (NR4R5 = N:CHNBu2, R1 = COCH2OPh, R2 = H, R3 = DMT) and then condensed with 2-cyanoethyl N,N-diisopropylchlorophosphoramidite in the presence of tetrazole in MeCN and pyridine to give 93.6% the title phosphoramiditE I [NR4R5 = N:CHNBu2, R1 = COCH2OPh, R2 = P(OCH2CH2CN)N(CHMe2)2, R3 = DMT] (II). The latter compound II can be incorporated into an oligonucleotide or polynucleotide, and deprotected under normal deprotection condition (55° for 8 h) using 28% NH4OH whereas the conventional protective groups (e.g. benzoyl or isobutyryl) require a long reaction time (55° for 2-5 days) and result in a low yield of oligomers or DNA. For example, dimer d(2-amino-A)T (whereas 2-amino-2'-deoxyadenosine) was prepared by the solid phase method using an Applied Blosystems 381A automatic synthesizer and II. The 2-amino-2 was completely deprotected by 28% NH4OH at 37° for 2 h.

L8 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN ED Entered STN: 30 Apr 1994

ACCESSION NUMBER: 1994:218405 CAPLUS

DOCUMENT NUMBER: 120:218405 ORIGINAL REFERENCE NO.: 120:38817a,38820a

TITLE: Synthesis of triple helix forming oligonucleotides with a stretched phosphodiester backbone

AUTHOR(S): Rao, T. Sudhakar; Jayaraman, K.; Revankar, Ganapathi, R.

CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE: LANGUAGE: Triplex Pharm. Corp., The Woodlands, TX, 77380, USA Tetrahedron Letters (1993), 34(39), 6189-92

CODEN: TELEAY; ISSN: 0040-4039

Journal English

DMTO X O CN

AB Total syntheses of novel DMT-phosphoramidites of deoxyribonucleosides, e.g. I (R = H, X = S; RR = O, X = NH), and their utility in the preparation of triple helix forming oligodeoxyribonucleotides with a stretched phosphodiester backbone are described.

L8 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

112:135575

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ED Entered STN: 13 Apr 1990 ACCESSION NUMBER: 1990:135575 CAPLUS

DOCUMENT NUMBER:

ORIGINAL REFERENCE NO.: 112:22837a, 22840a

TITLE: Preparation of oligonucleotide-polyamide conjugates

and their use as hybridization probes

INVENTOR(S): Haralambidis, Jim; Tregear, Geoffrey William
PATENT ASSIGNEE(S): Florey, Howard, Institute of Experimental Physiology

and Medicine, Australia SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| 1 | PA: | ENT : | NO. | | | KIND | | DATE | : | API | PLICATION NO. | DATE | |
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| Ţ | ΝO | 8903 | 849 | | | A1 | | 1989 | 0505 | WO | 1988-AU417 | 19881025 | |
| | | W: | AU, | JP, | US | | | | | | | | |
| | | RW: | AT, | BE, | CH, | DE, | FR, | GB, | IT, | LU, NI | L, SE | | |
| Ž | ΑU | 8826 | 006 | | | A. | | 1989 | 0523 | AU | 1988-26006 | 19881025 | |
| Ž | ΑU | 6215 | 72 | | | B2 | | 1992 | 0319 | | | | |
| 1 | EΡ | 3838 | 03 | | | A1 | | 1990 | 0829 | EP | 1988-909271 | 19881025 | |
| - 1 | PD. | 3838 | U.3 | | | B.1 | | 2000 | 0503 | | | | |

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R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
    JP 03500773
                        т
                               19910221
                                           JP 1988-508563
                                                                 19881025
    EP 972779
                         A2
                               20000119
                                           EP 1999-114825
                                                                 19881025
    EP 972779
                        A3
                              20041020
        R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
    AT 192465 T 20000515
                                          AT 1988-909271
                                                                 19881025
                       A 19960611 US 1995-367904
A 19971014 US 1995-367904
A 19970513 JP 1996-203613
B2 20001218
    CA 1339205
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    US 5525465
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    US 5677440
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    JP 09124693
                                                                 19960801
    JP 3119171
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    US 5846728
                       A
                                          US 1997-958885
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PRIORITY APPLN. INFO.:
                                           AU 1987-5111
                                                             A 19871028
                                                            A3 19881025
                                           EP 1988-909271
                                           JP 1988-508563
                                                             A3 19881025
                                           WO 1988-AH417
                                                             A 19881025
                                           US 1990-477995
                                                             B1 19900716
                                           US 1993-162789
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                                           US 1995-367904
                                                              A3 19950103
                                           US 1996-598963
                                                              A1 19960209
    The title conjugates, of formula X-L-Y (X is a polyamide; Y is an
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AB oligonucleotide; L is a linker), are provided; L forms a covalent bond with the amino-terminus of X and the 3'-phosphate of Y. Methods employing the conjugates as hybridization probes are also described. The conjugates may be synthesized with solid-phase synthesis methodol.; ≥1 reporter groups, e.g. biotin, may be added at different stages in the synthesis. 4-Nitrophenyl 3-[6-(4,4'-dimethoxytrityloxy)hexylcarbamoyl]propanoate (I) was prepared in 64% yield by reacting succinic anhydride and 6-aminohexanol with 4,4'-dimethoxytrityl chloride, then reacting the product with p-nitrophenol. The peptide (Ala-Lys)5-Ala was synthesized on derivatized controlled pore glass (CPG). The terminal amino group was deprotected and the CPG product was reacted with I and 1hydroxybenzotriazole. Following acetylation of residual amino groups and removal of protecting groups from the linker, oligonucleotide synthesis was commenced using Me N,N'-diisopropyl nucleoside phosphoramidites through production of a 30-mer complementary to a portion of mRNA encoding mouse kallikrein. The average coupling yield, by trityl assay, was >99%. Another probe, containing the same oligonucleotide but a different linker, a polyamide containing both natural and synthetic amino acids, and 10 biotin groups, was used to detect kallikrein mRNA in a 6 µm histochem, section of mouse submandibular gland. The probe strongly labeled distinct regions of the submandibular gland corresponding to the granular convoluted tubes, which are the site of expression of the majority of mouse kallikrein genes.

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

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RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 13 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
L8
ED Entered STN: 11 Jan 1987
ACCESSION NUMBER:
                        1987:2511 CAPLUS
DOCUMENT NUMBER:
                        106:2511
ORIGINAL REFERENCE NO.: 106:491a,494a
                        Efficient methods for attaching non-radioactive labels
TITLE:
                        to the 5' ends of synthetic oligodeoxyribonucleotides
                        Agrawal, Sudhir: Christodoulou, Chris: Gait, Michael
AUTHOR(S):
                        J.
CORPORATE SOURCE:
                        Lab. Mol. Biol., MRC, Cambridge, CB2 2QH, UK
SOURCE:
                        Nucleic Acids Research (1986), 14(15), 6227-45
                        CODEN: NARHAD; ISSN: 0305-1048
DOCUMENT TYPE:
                       Journal
                       English
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LANGUAGE:

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AB
    The syntheses are described of 2 types of linker mol. useful for the
     specific attachment of nonradioactive labels such as biotin and
     fluorophores to the 5' terminus of synthetic oligodeoxyribonucleotides.
     The linkers are designed such that they can be coupled to the
     oligonucleotide as a final step in solid-phase synthesis by using com. DNA
     synthesis machines. Increased sensitivity of biotin detection was
     possible with an antibiotin hybridoma/peroxidase detection system.
L8 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ED Entered STN: 01 Sep 1984
ACCESSION NUMBER:
                         1984:473047 CAPLUS
DOCUMENT NUMBER:
                        101:73047
ORIGINAL REFERENCE NO.: 101:11281a,11284a
TITLE:
                        Use of 2-methylsulfonylethyl as a phosphorus
                        protecting group in oligonucleotide synthesis via a
                        phosphite triester approach
                        Claesen, C.; Tesser, G. I.; Dreef, C. E.; Marugg, J.
AUTHOR(S):
                        E.; Van der Marel, G. A.; Van Boom, J. H.
CORPORATE SOURCE:
                        Dep. Chem., Univ. Nijmegen, Nijmegen, 6525 ED, Neth.
SOURCE:
                        Tetrahedron Letters (1984), 25(12), 1307-10
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CODEN: TELEAY; ISSN: 0040-4039 DOCUMENT TYPE: Journal

LANGUAGE: English MeSO2CH2CH2OPC12 was converted into the mono-N-morpholino derivative and applied for the preparation of 5'-O,N-protected deoxynucleoside-3'phosphoramidites. The latter intermediates were used in the presence of 1-hydroxybenzotriazole for the formation of 3'-5'-phosphotriester linkages. The 2-methylsulfonylethyl protecting group was removed selectively and rapidly under mild basic conditions.

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L3

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L4
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L5
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L6
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L7
           3848 S HYDROXYBENZOTRIAZOLE
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14 S L7 AND PHOSPHORAMIDIT?